

We Claim:

- 1 1. A stable oral composition of azithromycin comprising:
2 an azithromycin premix comprising azithromycin monohydrate and at least one
3 additive;
4 at least one pharmaceutically accepted excipient; and
5 optionally, at least one taste masking agent.
- 1 2. The composition of claim 1 wherein the additive comprises one or more of
2 at least one binder, at least one disintegrant, at least one hydrophobic material, at least one
3 surfactant, at least one lubricant, at least one diluent, and at least one taste masking agent.
- 1 3. The composition of claim 2 wherein the binder comprises one or more of
2 acacia, methylcellulose, carboxymethylcellulose, hydroxypropyl methylcellulose,
3 hydroxypropylcellulose, polyvinylpyrrolidone, pregelatinized starch, gum tragacanth and
4 sodium alginate.
- 1 4. The composition of claim 2 wherein the disintegrant comprises one or more
2 of pregelatinized starch, sodium starch glycolate, sodium carboxymethylcellulose,
3 crosslinked sodium carboxymethylcellulose, microcrystalline cellulose, low substituted
4 hydroxypropyl cellulose and cross-linked polyvinylpyrrolidone.
- 1 5. The composition of claim 2 wherein the hydrophobic material comprises
2 corn oil.
- 1 6. The composition of claim 2 wherein the surfactant comprises one or more
2 of polysorbates, castor oil and derivatives, and sodium lauryl sulphate.
- 1 7. The composition of claim 2 wherein the lubricant comprises one or more of
2 magnesium stearate, stearic acid, glyceryl behenate, polyethylene glycol, ethylene oxide
3 polymers, sodium lauryl sulfate, magnesium lauryl sulfate, sodium oleate, sodium stearyl
4 fumarate, talc, and colloidal silicon dioxide.
- 1 8. The composition of claim 2 wherein the diluent comprises one or more of
2 lactose, sucrose, dextrose, mannitol, sorbitol, starch, microcrystalline cellulose, and
3 dibasic calcium phosphate.
- 1 9. The composition of claim 1 wherein the taste masking agent comprises one
2 or more of magnesium hydroxide, magnesium carbonate, sodium carbonate, sodium

3 phosphate, sodium citrate, calcium gluconate, meglumine, sodium chloride, sodium
4 phosphate dibasic heptahydrate, sodium phosphate dibasic dihydrate, and anhydrous
5 dibasic calcium phosphate.

1 10. The composition of claim 1 wherein the pharmaceutically accepted
2 excipient comprises one or more of at least one binder, at least one viscosity increasing
3 agent, at least one disintegrant, at least one surfactant, at least one diluent, at least one
4 lubricant, at least one dispersing agent, at least one flavoring agent, and at least one
5 sweetening agent.

1 11. The composition of claim 10 wherein the viscosity-increasing agent
2 comprises one or more of xanthan gum, guar gum, locust bean gum, gum tragacanth,
3 alginates, sodium carboxymethylcellulose, polyvinylpyrrolidone, hydroxypropylcellulose,
4 and hydroxypropyl methylcellulose.

1 12. The composition of claim 10 wherein the flavoring agent comprises one or
2 more of menthol, flavour peppermint, flavour cherry, flavour banana, and flavour fruit
3 gum.

1 13. The composition of claim 10 wherein the sweetening agent comprises one
2 or more of aspartame, saccharin sodium, sucralose, and acesulfam K.

1 14. The composition of claim 10 wherein the dispersing agent comprises one or
2 more of colloidal silicon dioxide and talc.

1 15. The composition of claim 1 wherein the composition is prepared by a dry
2 granulation method.

1 16. The composition of claim 1 wherein the composition comprises one or
2 more of a tablet, a capsule, a powder for oral suspension, and a unit dose packet.

1 17. The composition of claim 1 wherein the composition shows an absence of
2 azithromycin dihydrate after storage at room temperature and humidity conditions for a
3 period of at least two months, as determined by using X ray diffraction.

1 18. The composition of claim 1 wherein the composition has at least 90%
2 dissolution of azithromycin within 30 minutes when an amount of the composition
3 equivalent to 200mg of azithromycin is tested according to USP-2 dissolution apparatus
4 using 900ml sodium phosphate buffer pH 6.0, 37°C, and paddle speed of 100 rpm.

1 19. A process for making a stable oral composition of azithromycin, the
2 process comprising:

3 combining azithromycin monohydrate with at least one additive to form an
4 azithromycin premix;

5 combining at least one pharmaceutically accepted excipient with the azithromycin
6 premix; and

7 optionally, adding at least one taste masking agent.

1 20. The process of claim 19 wherein the additive comprises one or more of at
2 least one binder, at least one disintegrant, at least one hydrophobic material, at least one
3 surfactant, at least one lubricant, at least one diluent, and at least one taste masking agent.

1 21. The process of claim 20 wherein the binder comprises one or more of
2 acacia, methylcellulose, carboxymethylcellulose, hydroxypropyl methylcellulose,
3 hydroxypropylcellulose, polyvinylpyrrolidone, pregelatinized starch, gum tragacanth and
4 sodium alginate.

1 22. The process of claim 20 wherein the disintegrant comprises one or more of
2 pregelatinized starch, sodium starch glycolate, sodium carboxymethylcellulose,
3 crosslinked sodium carboxymethylcellulose, microcrystalline cellulose, low substituted
4 hydroxypropyl cellulose and cross-linked polyvinylpyrrolidone.

1 23. The process of claim 20 wherein the hydrophobic material comprises corn
2 oil.

1 24. The process of claim 20 wherein the surfactant comprises one or more of
2 polysorbates, castor oil and derivatives, and sodium lauryl sulphate.

1 25. The process of claim 20 wherein the lubricant comprises one or more of
2 magnesium stearate, stearic acid, glyceryl behenate, polyethylene glycol, ethylene oxide
3 polymers, sodium lauryl sulfate, magnesium lauryl sulfate, sodium oleate, sodium stearyl
4 fumarate, talc, and colloidal silicon dioxide.

1 26. The process of claim 20 wherein the diluent comprises one or more of
2 lactose, sucrose, dextrose, mannitol, sorbitol, starch, microcrystalline cellulose, and
3 dibasic calcium phosphate.

1 27. The process of claim 20 wherein the taste masking agent comprises one or
2 more of magnesium hydroxide, magnesium carbonate, sodium carbonate, sodium
3 phosphate, sodium citrate, calcium gluconate, meglumine, sodium chloride, sodium
4 phosphate dibasic heptahydrate, sodium phosphate dibasic dihydrate, and anhydrous
5 dibasic calcium phosphate.

1 28. The process of claim 19 wherein forming the azithromycin premix
2 comprises mixing the azithromycin monohydrate and additive.

1 29. The process of claim 28 wherein forming the azithromycin premix further
2 comprises compacting.

1 30. The process of claim 28 wherein forming the azithromycin premix further
2 comprises granulating.

1 31. The process of claim 19 wherein the composition has at least 90%
2 dissolution of azithromycin within 30 minutes when an amount of the composition
3 equivalent to 200mg of azithromycin is tested according to USP-2 dissolution apparatus
4 using 900ml sodium phosphate buffer pH 6.0, 37°C, and paddle speed of 100 rpm.

1 32. The process of claim 19 wherein the composition shows an absence of
2 azithromycin dihydrate after storage at room temperature and humidity conditions for a
3 period of at least two months, as determined by using X ray diffraction.

1 33. A method for treating a microbial infection in a human, the method
2 comprising administering to the human a stable oral composition of azithromycin as
3 claimed in claim 1.